

TITLE: Preparation of (pyrazolylmethyl)thiazolidines useful
 as hypoglycemic agents and aldose-reductase inhibitors
 INVENTOR(S): Ohara, Yoshiro; Suzuki, Mikio; Miyachi, Nobuhide; Kato,
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 Shikada, Ken-ichi; Naito, Takeshi; Yotsumoto, Takashi
 PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 209 pp.

DOCUMENT TYPE: Patent

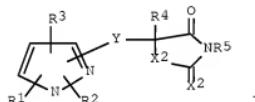
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611196	A1	19960418	WO 1995-JP2041	19951005 <--
W: AU, CA, CN, CZ, FI, HU, KR, LT, MX, NO, NZ, RO, RU, SI, SK, UA, US				
DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 08157473	A	19960618	JP 1995-246171	19950925 <--
AU 9536190	A	19960502	AU 1995-36190	19951005 <--
ZA 9508395	A	19960514	ZA 1995-8395	19951005 <--
PRIORITY APPLN. INFO.:			JP 1994-242865	A 19941006
			JP 1995-246171	A 19950925
			WO 1995-JP2041	W 19951005

OTHER SOURCE(S): MARPAT 125:114606
GI



AB The title compds [I; X1 = S, O; X2 = S, O, NH; Y = C(R6)R7; R6, R7 = H, alkyl, cycloalkyl; R1 = alkyl, alkoxy, etc.; R2, R3 = H, alkyl, etc.; R4 = H, alkyl, etc.; R5 = H, CO2Me], useful as antidiabetic agents and aldose-reductase inhibitors for the treatment of diabetes mellitus and its complications, are prepared and I-containing formulations presented. Thus, 5-[(5-(2-hydroxy-2-phenylethoxy)-1-methyl-3-pyrazolyl)methylidene]thiazolidin-2,4-dione, prepared in a multiple-step procedure from Et -5-hydroxy-1-methyl-3-pyrazolecarboxylate, demonstrated a 42.3% anti-glycation effect as determined by the Lowry method at 0.24 mM.

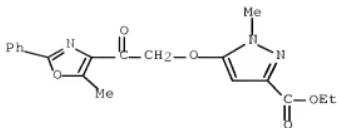
IT 179099-21-9P 179099-22-0P 179099-26-4P
 179099-29-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (pyrazolylmethyl)thiazolidines useful as hypoglycemic agents

and aldose-reductase inhibitors)

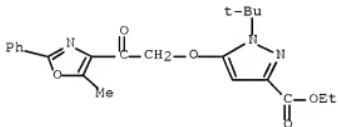
RN 179099-21-9 ZCAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-methyl-5-[(2-(5-methyl-2-phenyl-4-oxazolyl)-2-oxethoxyl-, ethyl ester (9CI) (CA INDEX NAME)



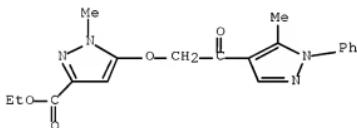
RN 179099-22-0 ZCPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-(1,1-dimethylethyl)-5-[2-(5-methyl-2-phenyl-4-oxazolyl)-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 179099-26-4 ZCPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-methyl-5-[2-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 179099-29-7 ZCPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-methyl-5-[2-oxo-2-(3-phenyl-5-isoxazolyl)ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

